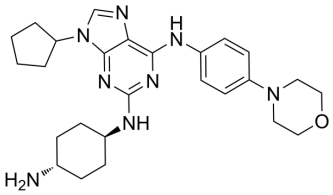
FLT3-IN-18

Cat. No.:	HY-148522
CAS No.:	752191-77-8
Molecular Formula:	$C_{26}H_{36}N_8O$
Molecular Weight:	476.62
Target:	FLT3
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	FLT3-IN-18 is a potent and selective FLT3 inhibitor with an IC_{50} value of 0.003 μM. FLT3-IN-18 induces apoptosis and cell cycle arrest at G1 phase. FLT3-IN-18 inhibits FLT3 and STAT5 phosphorylation. FLT3-IN-18 has the potential for the research of acute myeloid leukemia (AML)[1].
IC_{50} & Target	IC_{50}: 0.003 μM (FLT3)[1]
In Vitro	FLT3-IN-18 (compound 7d) (0, 0.01, 0.1, 1, 10, 100 nM; 1h) decreases the protein expression of p-FLT3 Y589/591, p-FLT3 Y842, p-TAT5 Y694, p-ERK1/2 T202/Y204, and p-MEK1/2 S217/221, p-AKT S473 in a dose-dependent manner in MV4-11 cells[1]. FLT3-IN-18 (0, 0.01, 0.1, 1, 10, 100 nM; 24 h) induces apoptosis and cell cycle arrest at G1 phase[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	MV4-11, K562, MOLM-13, Kasumi-1, THP-1, U937, MCF-7 cells
Concentration:	0-20 μM
Incubation Time:	72 h
Result:	Inhibited cell growth with GI_{50}s of 0.002, 0.380, 0.001, 0.513, 0.713, 0.664, 0.197 μM for MV4-11, K562, MOLM-13, Kasumi-1, THP-1, U937, MCF-7 cells, respectively.

Western Blot Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	0, 0.01, 0.1, 1, 10, 100 nM
Incubation Time:	1 h
Result:	Decreased the expression of p-FLT3 Y589/591, p-FLT3 Y842, p-TAT5 Y694, p-ERK1/2 T202/Y204, and p-MEK1/2 S217/221, p-AKT S473 in a dose-dependent manner.

Cell Cycle Analysis[1]

Cell Line:	MV4-11 cells

Concentration:	0, 0.01, 0.1, 1, 10, 100 nM
Incubation Time:	24 h
Result:	Induced cell cycle arrest at G1 phase.

Apoptosis Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	0, 0.01, 0.1, 1, 10, 100 nM
Incubation Time:	24 h
Result:	Increased cleavage of the apoptotic marker protein PARP-1 (89 kDa fragment) and educed levels of the antiapoptotic protein Mcl-1.

In Vivo

FLT3-IN-18 (10 mg/kg; i.p.; once) effectively inhibits FLT3 and STAT5 phosphorylation in rats[1].

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Animal Model:	Rats (MV4-11 xenografts)[1]
Dosage:	10 mg/kg
Administration:	I.p.; once
Result:	Effectively inhibited FLT3-ITD autophosphorylation in MV4-11 xenografts, reduced STAT5 phosphorylation by over 95% after 24 h.

REFERENCES

[1]. Gucký T, et al. Discovery of N2-(4-Amino-cyclohexyl)-9-cyclopentyl- N6-(4-morpholin-4-ylmethyl-phenyl)- 9H-purine-2,6-diamine as a Potent FLT3 Kinase Inhibitor for Acute Myeloid Leukemia with FLT3 Mutations. J Med Chem. 2018 May 10;61(9):3855-3869.

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